METHODS OF ENHANCING DELIVERY OF OIL-SOLUBLE SKIN CARE ACTIVES

LARRY RICHARD ROBINSON
ROBERT BAO KIM HA

CROSS-REFERENCE TO RELATED APPLICATION

This application is a continuation-in-part of co-pending U.S. Application No. 09/613,266 filed July 10, 2000, incorporated herein by reference, and to which this application claims the benefit of priority.

TECHNICAL FIELD

This invention relates to the field of water-in-oil emulsion compositions containing silicone elastomers. More particularly, this invention relates to a method of using water-in-silicone emulsion compositions containing silicone elastomers to enhance the delivery of oil-soluble skin care actives into the skin.

BACKGROUND

Numerous skin care compositions are known in the art containing oil-soluble actives such as terpene alcohols, phytosterols, anti-acne actives, beta-hydroxy acids, vitamin B_3 compounds, retinoids, anti-oxidants/radical scavengers, chelators, flavonoids, anti-inflammatory agents, anti-cellulite, and topical anesthetics, that are known to provide skin benefits. Extremely popular are oil-in-water and water-in-oil emulsion compositions that may include a variety of oil-soluble skin care actives in the oil phase of the emulsion. In general, the oil phase is comprised of organic oils, such as mineral oil. However, water-in-oil emulsion compositions tend to impart an oily feel to the skin and are thus undesirable from a consumer standpoint.

In addition, when such oil-soluble skin care actives are present in the oil phase of an oil-in-water or water-in-oil emulsion, it is often difficult to formulate such that the actives leave ("partition out of") the oil phase when the composition is applied to the skin. Therefore, less of the active present in the composition is actually delivered into the skin and the efficacy of such oil-soluble skin care actives is limited.

Therefore, there exists a need to improve the efficacy of compositions containing oil-soluble skin care actives. It is believed that the amount of active delivered into the skin (i.e. "skin penetration" of the active), is primarily controlled by two factors; 1) concentration of the active in

the oil; and 2) solubility of the active in the oil versus solubility of the active in the skin. The latter factor leads to a dynamic equilibrium on the skin surface.

One way of increasing the delivery of skin care actives into the skin is to increase the amount of the oil-soluble skin care active(s) present in the composition. However, this leads to increased cost, increased possibility of adverse reactions with other ingredients, and the potential for greater skin irritation.

An alternative approach is to decrease the solubility of the oil-soluble active in the oil phase which would then lead to an increase in the amount of active that partitions out of the oil phase and into the skin. Unfortunately, oil-soluble skin care actives are inherently very soluble in oil which makes it difficult to decrease the solubility enough to produce such an effect. In addition, modifying the solubility of the oil-soluble active in the oil would have a negative effect on the concentration of the active in the oil phase; i.e., the amount of active would be lower. As discussed above, the concentration of the active in the composition is also a factor in skin penetration.

One way to improve the oily feel of water-in-oil emulsions is to replace the organic oil discontinuous phase with a silicone oil. Silicone provides a smooth, non-oily feel to the skin. The broad class of silicone elastomers, including both emulsifying and non-emulsifying silicone elastomers is well-known in the art for formulating stable skin care compositions with a variety of benefits. See, e.g., U.S. Patents Nos. 5,412,004, U.S. 5,654,362, 5,889,108, and 5,811,487.

Specific combinations of silicone elastomers are also known, such as the combination taught by U.S. Patent 6,221,979, for solubilizing water-soluble and oil-soluble skin care actives in order to achieve a uniform composition.However, oil-soluble skin care actives are poorly soluble in silicone.

Therefore, there is a need for a skin care composition that can provide improved delivery of oil-soluble skin care actives into the skin and also impart good aesthetic benefits.

SUMMARY

The present invention relates to topical water-in-oil compositions useful for enhancing the delivery of oil-soluble skin care actives. The compositions comprise a silicone oil, a silicone elastomer and an oil-soluble skin care active. Preferably, the oil-soluble skin care active is selected from terpene alcohols, phytosterols, anti-acne actives, beta-hydroxy acids, vitamin B_3 compounds, retinoids, anti-oxidants/radical scavengers, chelators, flavonoids, anti-inflammatory agents, anti-cellulite, topical anesthetics, and mixtures thereof.

The present invention also relates to methods of enhancing the delivery of oil-soluble skin care actives into the skin.

DETAILED DESCRIPTION

While the specification concludes with the claims particularly pointing and distinctly claiming the invention, it is believed that the present invention will be better understood from the following description.

All percentages and ratios used herein are by weight of the total composition and all measurements made are at 25°C, unless otherwise designated.

As used herein, the "skin care products" are those used to treat or care for, or somehow moisturize, improve, or clean the skin. Products contemplated by the phrase "skin care products" include, but are not limited to moisturizers, personal cleansing products, occlusive drug delivery patches, nail polish, powders, wipes, hair conditioners, skin treatment emulsions, shaving creams and the like.

The term "ambient conditions" as used herein refers to surrounding conditions under about one atmosphere of pressure, at about 50% relative humidity, and at about 25°C. unless otherwise specified.

The compositions of the present invention can include, consist essentially of, or consist of, the components of the present invention as well as other ingredients described herein. As used herein, "consisting essentially of" means that the composition or component may include additional ingredients, but only if the additional ingredients do not materially alter the basic and novel characteristics of the claimed compositions or methods.

All percentages, parts and ratios are based upon the total weight of the skin care compositions of the present invention, unless otherwise specified. All such weights as they pertain to listed ingredients are based on the active level and, therefore, do not include carriers or by-products that may be included in commercially available materials, unless otherwise specified.

All publications cited herein are hereby incorporated by reference in their entirety.

In any embodiment of the present invention, the skin care actives useful herein can be categorized by the benefit they provide or by their postulated mode of action. However, it is to be understood that the actives useful herein can in some instances provide more than one benefit or operate via more than one mode of action. Therefore, classifications herein are made for the sake of convenience and are not intended to limit the active to that particular application or applications listed.

The term "keratinous tissue," as used herein, refers to keratin-containing layers disposed as the outermost protective covering of mammals (e.g., humans, dogs, cats, etc.) which includes, but is not limited to, skin, lips, hair, toenails, fingernails, cuticles, hooves, etc.

The term "dermatologically-acceptable," as used herein, means that the compositions or components thereof so described are suitable for use in contact with mammalian keratinous tissue without undue toxicity, incompatibility, instability, allergic response, and the like.

The term "safe and effective amount" as used herein means an amount of a compound or composition sufficient to significantly induce a positive benefit, preferably a positive keratinous tissue appearance or feel benefit, or positive hair appearance or feel benefit, including independently or in combinations the benefits disclosed herein, but low enough to avoid serious side effects, i.e., to provide a reasonable benefit to risk ratio, within the scope of sound judgment of the skilled artisan.

"Signs of skin aging" include, but are not limited to, all outward visibly and tactilely perceptible manifestations as well as any other macro or micro effects due to skin aging. Such signs may be induced or caused by intrinsic factors or extrinsic factors, e.g., chronological aging and/or environmental damage. These signs may result from processes which include, but are not limited to, the development of textural discontinuities such as wrinkles and coarse deep wrinkles, skin lines, crevices, bumps, large pores (e.g., associated with adnexal structures such as sweat gland ducts, sebaceous glands, or hair follicles), or unevenness or roughness, loss of skin elasticity (loss and/or inactivation of functional skin elastin), sagging (including loss and/or damage to functional subcutaneous muscle tissue and including puffiness in the eye area and jowls), loss of skin firmness, loss of skin tightness, loss of skin recoil from deformation, discoloration (including undereye circles), blotching, sallowness, hyperpigmented skin regions such as age spots and freckles, keratoses, abnormal differentiation, hyperkeratinization, elastosis, collagen breakdown, and other histological changes in the stratum corneum, dermis, epidermis, the skin vascular system (e.g., telangiectasia or spider vessels), and underlying tissues, especially those proximate to the skin.

It is desirable to have one or more oil-soluble skin care actives present in skin care compositions (for example, moisturizing creams and lotions) to provide skin care benefits such as regulating the condition of skin. However, it is also desirable to increase the delivery potential of a given active. The use of a silicone elastomer enhances the delivery potential of oil-soluble skin care actives and thereby increases the efficacy of a particular active.

The present invention is also useful for therapeutically regulating visible and/or tactile discontinuities in mammalian skin, including discontinuities in skin texture and color. For

example, the apparent diameter of pores decreases, the apparent height of tissue immediately proximate to pore openings approaches that of the interadnexal skin, the skin tone/color becomes more uniform, and/or the length, depth, and/or other dimension of lines and/or wrinkles are decreased.

The compositions of the present invention are also useful for regulating the condition of skin and especially for regulating keratinous tissue condition. Regulation of skin condition, namely mammalian and in particular human skin condition, is often required due to conditions which may be induced or caused by factors internal and/or external to the body. Examples include, environmental damage, radiation exposure (including ultraviolet radiation), chronological aging, menopausal status (e.g., post-menopausal changes in skin), stress, diseases, etc. For instance, "regulating skin condition" includes prophylactically regulating and/or therapeutically regulating skin condition, and may involve one or more of the following benefits: thickening of skin (i.e., building the epidermis and/or dermis and/or sub-dermal (e.g., subcutaneous fat or muscle) layers of the skin and where applicable the keratinous layers of the nail and hair shaft) to reduce skin atrophy, increasing the convolution of the dermal-epidermal border (also known as the rete ridges), preventing loss of skin elasticity (loss, damage and/or inactivation of functional skin elastin) such as elastosis, sagging, loss of skin recoil from deformation; non-melanin skin discoloration such as under eye circles, blotching (e.g., uneven red coloration due to, e.g., rosacea) (hereinafter referred to as "red blotchiness"), sallowness (pale color), discoloration caused by telangiectasia or spider vessels.

As used herein, prophylactically regulating skin condition includes delaying, minimizing and/or preventing visible and/or tactile discontinuities in skin (e.g., texture irregularities in the skin which may be detected visually or by feel).

As used herein, therapeutically regulating skin condition includes ameliorating, e.g., diminishing, minimizing and/or effacing, discontinuities in skin.

The compositions of the present invention provide additional benefits, including stability, absence of significant (consumer-unacceptable) skin irritation and good aesthetics.

It has now surprisingly been found that by adding a silicone elastomer to a water-in-silicone composition, that delivery of an oil-soluble skin care active may be enhanced as compared to traditional oil-in-water and/or water-in-oil compositions. Such compositions also have good aesthetic properties and are pleasing to consumers. The present inventors have found that a water-in-silicone composition containing silicone elastomers in the oil phase provides enhanced delivery of oil-soluble skin care actives, such as terpene alcohols, phytosterols, anti-acne actives, beta-hydroxy acids, vitamin B_3 compounds, retinoids, anti-oxidants/radical

scavengers, chelators, flavonoids, anti-inflammatory agents, anti-cellulite, and topical anesthetics into the skin.

Without being limited by theory, it is believed that although the oil-soluble skin care active may be stably formulated into the silicone oil phase with the aid of the silicone elastomer, that the presence of both a silicone oil and silicone elastomer create a non-preferred environment for the oil-soluble skin care active. Therefore, upon application of the composition to the skin, the oil-soluble skin care active partitions out of the silicone elastomer and is delivered into the skin. The partitioning out effect leads to greater delivery of the oil-soluble active into the skin than if the active was present in a conventional oil phase.

The present invention also relates to methods of enhancing the penetration of oil-soluble skin care actives by applying to the skin, a composition containing silicone elastomers.

The compositions of the present invention contain a silicone oil, a silicone elastomer, and an oil-soluble skin care active. Preferred compositions of the present invention are water-in-silicone oil emulsion compositions containing a silicone elastomer and an oil-soluble skin care active in the continuous oil phase.

The compositions herein may also include a wide variety of other ingredients. The compositions of the present invention, are described in detail hereinafter.

I. Oil-Soluble Skin Care Active

The compositions of the present invention contain a safe and effective amount of an oil-soluble skin care active. An "oil-soluble active" may be defined as any active material that is immiscible with water. Preferably, the composition contains from about 0.001% to about 40%, by weight of the composition formed, of the oil-soluble skin care active, more preferably from about 0.01% to about 40%, even more preferably from about 0.05% to about 30%, and still more preferably from about 0.1% to about 20%, more preferably from about 0.1% to about 10%

Non-limiting examples of oil-soluble actives that may be used in the present invention include oil-soluble terpene alcohols, phytosterols, anti-acne actives, beta-hydroxy acids, vitamin B_3 compounds, retinoids, anti-oxidants/radical scavengers, chelators, flavonoids, anti-inflammatory agents, anti-cellulite agents, topical anesthetics, and mixtures thereof. A preferred oil-soluble skin care active for use herein is farnesol.

a) Oil-soluble Terpene Alcohols

As used herein, "terpene alcohol" refers to organic compounds composed of two or more 5-carbon isoprene units [CH_2=C(CH_3)-CH=CH_2] with a terminal hydroxyl group.

Examples of oil-soluble terpene alcohols that are useful herein include farnesol, derivatives of farnesol, isomers of farnesol, geraniol, derivatives of geraniol, isomers of geraniol, phytantriol, derivatives of phytantriol, isomers of phytantriol, and mixtures thereof. Preferred for use herein is farnesol.

i) Farnesol and Derivatives thereof

Farnesol is a naturally occurring substance which is believed to act as a precursor and/or intermediate in the biosynthesis of squalene and sterols, especially cholesterol. Farnesol is also involved in protein modification and regulation (e.g., farnesylation of proteins), and there is a cell nuclear receptor which is responsive to farnesol.

Chemically, farnesol is [2E,6E]-3,7,11-trimethyl-2,6,10-dodecatrien-1-ol and as used herein "farnesol" includes isomers and tautomers of such. Farnesol is commercially available, e.g., under the names farnesol (a mixture of isomers from Dragoco, 10 Gordon Drive, Totowa, New Jersey) and trans-trans-farnesol (Sigma Chemical Company, P. O. Box 14508, St. Louis, Missouri). A suitable derivative of farnesol is farnesyl acetate which is commercially available from Aldrich Chemical Company, P. O. Box 2060, Milwaukee, WI.

ii) Geraniol and derivatives thereof

Geraniol is the common name for the chemical known as 3,7-dimethyl-2,6-octadien-1-ol. As used herein, "geraniol" includes isomers and tautomers of such. Geraniol is commercially available from Aldrich Chemical Company (P. O. Box 2060, Milwaukee, WI). Suitable derivatives of geraniol include geranyl acetate, geranylgeraniol, geranyl pyrophosphate, and geranylgeranyl pyrophosphate, all of which are commercially available from Sigma Chemical Company, P. O. Box 14508, St. Louis, MO. For example, geraniol is useful as a spider vessel/ red blotchiness repair agent, a dark circle/puffy eye repair agent, sallowness repair agent, a sagging repair agent, an anti-itch agent, a skin thickening agent, a pore reduction agent, oil/shine reduction agent, a post-inflammatory hyperpigmentation repair agent, wound treating agent, an anti-cellulite agent, and regulating skin texture, including wrinkles and fine lines.

iii) Phytantriol and derivatives thereof

Phytantriol is the common name for the chemical known as 3,7,11,15,tetramethylhexadecane-1,2,3,-triol. Phytantriol is commercially available from BASF (1609 Biddle Avenue, Whyandotte, MI). For example, phytantriol is useful as a spider vessel/ red blotchiness repair agent, a dark circle/puffy eye repair agent, sallowness repair agent, a sagging repair agent, an anti-itch agent, a skin thickening agent, a pore reduction agent, oil/shine reduction agent, a post-inflammatory hyperpigmentation repair agent, wound treating agent, an anti-cellulite agent, and regulating skin texture, including wrinkles and fine lines.

b) Phytosterols

Phytosterol and derivatives thereof are known for providing skin lightening benefits. Non-limiting examples of oil-soluble phytosterol derivatives include β-sitosterol, campesterol, brassicasterol, lupenol, α-spinasterol, stigmasterol, their derivatives, and combinations thereof. More preferably, the phytosterol derivative is selected from the group consisting of β-sitosterol, campesterol, brassicasterol, stigmasterol, their derivatives, and combinations thereof.

Phytosterols are generally found in the unsaponifiable portion of vegetable oils and fats and are available as free sterols, acetylated derivatives, sterol esters, ethoxylated or glycosidic derivatives. More preferably, the phytosterols are free sterols. As used herein, "phytosterol" includes isomers and tautomers of such and is commercially available from Aldrich Chemical Company (Milwaukee, Wisconsin), Sigma Chemical Company (St. Louis, Missouri), and Dragoco (Totowa, NJ).

c) Oil-Soluble Vitamin Compounds

A number of vitamins known by those in the art for providing various skin benefits are oil-soluble and some or all of their derivatives are oil-soluble. As such, these oil-soluble vitamin compounds are useful as oil-soluble skin care actives herein. Non-limiting examples of such oil-soluble vitamin compounds include retinoids, vitamin B_3 compounds, vitamin C (e.g. ascorbyl palmitate), vitamin D, vitamin K, vitamin E, and mixtures thereof. Preferred for use herein are retinoids, vitamin B_3 compounds, which are discussed in more detail below.

i) Retinoids

As used herein, "retinoid" includes all natural and/or synthetic analogs of Vitamin A or retinol-like compounds which possess the biological activity of Vitamin A in the skin as well as the geometric isomers and stereoisomers of these compounds. Non-limiting examples of retinoids useful herein include retinol, retinol esters (e.g., C_2 - C_{22} alkyl esters of retinol, including retinyl palmitate, retinyl acetate, retinyl propionate), retinal, and/or retinoic acid (including all-trans retinoic acid and/or 13-cis-retinoic acid), preferably retinoids other than retinoic acid. These compounds are well known in the art and are commercially available from a number of sources, e.g., Sigma Chemical Company (St. Louis, MO), and Boerhinger Mannheim (Indianapolis, IN). Other suitable retinoids are tocopheryl-retinoate [tocopherol ester of retinoic acid (trans- or cis-), adapalene {6-[3-(1-adamantyl)-4-methoxyphenyl]-2-naphthoic acid}, and tazarotene (ethyl 6-[2-(4,4-dimethylthiochroman-6-yl)-ethynyl]nicotinate). Preferred retinoids are retinol, retinyl palmitate, retinyl acetate, retinyl propionate, retinal and combinations thereof, but any oil-soluble retinoid may be used herein.

ii) Oil-Soluble Vitamin B_3 Compounds

Oil-soluble Vitamin B_3 compounds are particularly useful for regulating skin condition. Non-limiting examples of oil-soluble B_3 compounds useful herein include nicotinic acid esters, including non-vasodilating esters of nicotinic acid (e.g., tocopheryl nicotinate), Examples of suitable vitamin B_3 compounds are well known in the art and are commercially available from a number of sources, e.g., the Sigma Chemical Company (St. Louis, MO); ICN Biomedicals, Inc. (Irvin, CA) and Aldrich Chemical Company (Milwaukee, WI).

iii) Oil-Soluble Vitamin E compounds

Vitamin E and several derivatives thereof are known to be especially useful as anti-oxidants/radical scavengers. Such antioxidants/radical scavengers are especially useful for providing protection against UV radiation which can cause increased scaling or texture changes in the stratum corneum and against other environmental agents which can cause skin damage.

Nonlimiting examples of oil soluble vitamin E compounds include tocopherol (vitamin E), tocopherol sorbate, tocopherol acetate, and other esters of tocopherol. Preferred anti-oxidants/radical scavengers are selected from tocopherol sorbate, tocopherol acetate, and mixtures thereof. Also useful herein are the class of materials, tocotrienols, which are related to vitamin E.

d) Anti-Acne Actives

Non-limiting examples of oil-soluble anti-acne actives include resorcinol and erythromycin.

e) Beta-Hydroxy Acids

Nonlimiting examples of oil-soluble beta-hydroxy acids include salicylic acid and derivatives thereof such as the octanoyl derivative. Beta-hydroxy acids are known to provide anti-acne and anti-aging benefits.

f) Chelators

As used herein, "chelator" or "chelating agent" means an active agent capable of removing a metal ion from a system by forming a complex so that the metal ion cannot readily participate in or catalyze chemical reactions. The inclusion of a chelating agent is especially useful for providing protection against UV radiation which can contribute to excessive scaling or skin texture changes and against other environmental agents which can cause skin damage.

Exemplary oil-soluble chelators that are useful herein are disclosed in U.S. Patent No. 5,487,884, issued 1/30/96 to Bissett et al.; International Publication No. 91/16035, Bush et al., published 10/31/95; and International Publication No. 91/16034, Bush et al., published 10/31/95.

Preferred oil-soluble chelators useful in compositions of the subject invention are furildioxime, furilmonoxime, and derivatives thereof.

g) Flavonoids

Flavonoid compounds are broadly disclosed in U.S. Patents 5,686,082 and 5,686,367, both of which are herein incorporated by reference. Nonlimiting examples of flavonoids useful herein include isoflavones, flavanones selected from the group consisting of unsubstituted flavanones, mono-substituted flavanones, and mixtures thereof; chalcones selected from the group consisting of unsubstituted chalcones, mono-substituted chalcones, di-substituted chalcones, tri-substituted chalcones, and mixtures thereof; flavones selected from the group consisting of unsubstituted flavones, mono-substituted flavones, di-substituted flavones, and mixtures thereof; one or more isoflavones; coumarins selected from the group consisting of unsubstituted coumarins, mono-substituted coumarins, di-substituted coumarins, and mixtures thereof; chromones selected from the group consisting of unsubstituted chromones, mono-substituted chromones, di-substituted chromones, and mixtures thereof; one or more dicoumarols; one or more chromanones; one or more chromanols; isomers (e.g., cis/trans isomers) thereof; and mixtures thereof. By the term "substituted" as used herein means flavonoids wherein one or more hydrogen atom of the flavonoid has been independently replaced with a hydroxyl, C1-C8 alkyl, or C1-C4 alkoxyl.

Examples of suitable flavonoids include, but are not limited to, unsubstituted flavanone, mono-hydroxy flavanones (e.g., 2'-hydroxy flavanone, 6-hydroxy flavanone, 7-hydroxy flavanone, etc.), mono-alkoxy flavanones (e.g., 5-methoxy flavanone, 6-methoxy flavanone, 7-methoxy flavanone, 4'-methoxy flavanone, etc.), unsubstituted chalcone (especially unsubstituted trans-chalcone), mono-hydroxy chalcones (e.g., 2'-hydroxy chalcone, 4'-hydroxy chalcone, etc.), di-hydroxy chalcones (e.g., 2',4-dihydroxy chalcone, 2',4'-dihydroxy chalcone, 2,2'-dihydroxy chalcone, 2',3-dihydroxy chalcone, 2',5'-dihydroxy chalcone, etc.), and tri-hydroxy chalcones (e.g., 2',3',4'-trihydroxy chalcone, 4,2',4'-trihydroxy chalcone, 2,2',4'-trihydroxy chalcone, etc.), unsubstituted flavone, 7,2'-dihydroxy flavone, 3',4'-dihydroxy naphthoflavone, 4'-hydroxy flavone, 5,6-benzoflavone, and 7,8-benzoflavone, unsubstituted isoflavone, daidzein (7,4'-dihydroxy isoflavone), 5,7-dihydroxy-4'-methoxy isoflavone, soy isoflavones (a mixture extracted from soy), unsubstituted coumarin, 4-hydroxy coumarin, 7-hydroxy coumarin, 6-hydroxy-4-methyl coumarin, unsubstituted chromone, 3-formyl chromone, 3-formyl-6-isopropyl chromone, unsubstituted dicoumarol, unsubstituted chromanone, unsubstituted chromanol, and mixtures thereof..

Preferred for use herein are unsubstituted flavanone, methoxy flavanones, unsubstituted chalcone, 2',4-dihydroxy chalcone, isoflavones, and mixtures thereof. Most preferred are unsubstituted flavanone, unsubstituted chalcone (especially the trans isomer), isoflavones, and mixtures thereof.

Flavonoid compounds useful herein are commercially available from a number of sources, e.g., Indofine Chemical Company, Inc. (Somerville, New Jersey), Steraloids, Inc. (Wilton, New Hampshire), NovaSoy from Archer Daniels Midland Co. (Decatur, Illinois),.and Aldrich Chemical Company, Inc. (Milwaukee, Wisconsin).

Mixtures of the above flavonoid compounds may also be used.

h) Anti-Inflammatory Agents

Nonlimiting examples of oil-soluble anti-inflammatory agents useful herein include steroidal anti-inflammatory agents, such as the corticosteroids (e.g. hydrocortisone), and oil soluble nonsteroidal anti-inflammatory agents, such as the propionic acid derivatives (e.g. ibuprofen, naproxen, and/or ketoprofen).

Mixtures of oil-soluble anti-inflammatory agents may also be employed, as well as the dermatologically acceptable salts and esters of these agents. For example, etofenamate, a flufenamic acid derivative, is particularly useful for topical application.

Non-limiting examples of so-called "natural" anti-inflammatory agents useful herein include those obtained as an extract by suitable physical and/or chemical isolation from natural sources (e.g., plants, fungi, by-products of microorganisms) or can be synthetically prepared. For example, candelilla wax, bisabolol (e.g., alpha bisabolol), and/or plant sterols (e.g., phytosterol), may be used.

i) Anti-Cellulite Agents

Non-limiting examples of oil-soluble anti-cellulite skin care actives useful herein include the oil soluble xanthine compounds such as caffeine.

j) Topical Anesthetics

Non-limiting examples of oil-soluble topical anesthetic drugs include benzocaine, lidocaine, and pharmaceutically acceptable salts thereof.

II. Silicone Elastomer

The compositions of the present invention also include from about 0.1% to about 30%, by weight of the composition, of a silicone elastomer component. Preferably, the composition includes from about 0.1% to about 30%, more preferably from about 0.5% to about 10%, by weight of the composition, of the silicone elastomer component All such percentages as they

pertain to the silicone elastomer are based on the amount of elastomer and therefore, do not include carriers or by-products that may be included in commercially available materials. Commercially available silicone elastomers are often introduced into the overall composition in solution with a silicone oil. Such silicone oil amounts are considered in the overall percentages of silicone oil present in the compositions of the present invention.

Suitable for use herein are silicone elastomers which can be emulsifying or non-emulsifying crosslinked siloxane elastomers or mixtures thereof. No specific restriction exists as to the type of curable organopolysiloxane composition which can serve as starting material for the crosslinked organopolysiloxane elastomer. Examples in this respect are addition reaction-curing organopolysiloxane compositions which cure under platinum metal catalysis by the addition reaction between SiH-containing diorganopolysiloxane and organopolysiloxane having silicon-bonded vinyl groups; condensation-curing organopolysiloxane compositions which cure in the presence of an organotin compound by a dehydrogenation reaction between hydroxyl-terminated diorganopolysiloxane and SiH-containing diorganopolysiloxane; condensation-curing organopolysiloxane compositions which cure in the presence of an organotin compound or a titanate ester, by a condensation reaction between an hydroxyl-terminated diorganopolysiloxane and a hydrolyzable organosilane (this condensation reaction is exemplified by dehydration, alcohol-liberating, oxime-liberating, amine-liberating, amide-liberating, carboxyl-liberating, and ketone-liberating reactions); peroxide-curing organopolysiloxane compositions which thermally cure in the presence of an organoperoxide catalyst; and organopolysiloxane compositions which are cured by high-energy radiation, such as by gamma-rays, ultraviolet radiation, or electron beams.

Addition reaction-curing organopolysiloxane compositions are preferred for their rapid curing rates and excellent uniformity of curing. A particularly preferred addition reaction-curing organopolysiloxane composition is prepared from:

(A) an organopolysiloxane having at least 2 lower alkenyl groups in each molecule;

(B) an organopolysiloxane having at least 2 silicon-bonded hydrogen atoms in each molecule; and

(C) a platinum-type catalyst.

With regard to the above, component (A) is the basic component of the silicone elastomer-generating organopolysiloxane, and curing proceeds by the addition reaction of this component with component (B) under catalysis by component (C). This component (A) must contain at least 2 silicon-bonded lower alkenyl groups in each molecule; an excellent cured product will not be obtained at few than two lower alkenyl groups because a network structure

will not be formed. Said lower alkenyl groups are exemplified by vinyl, allyl, and propenyl. While the lower alkenyl groups can be present at any position in the molecular, their presence at the molecular terminals is preferred. The molecular structure of this component may be straight chain, branched straight chain, cyclic, or network, but a straight chain, possibly slightly branched, is preferred. The molecular weight of the component is not specifically restricted, and thus the viscosity may range from low viscosity liquids to very high viscosity gums. In order for the cured product to be obtained in the form of the rubbery elastomer, it is preferred that the viscosity at 25 degrees Centigrade be at least 100 centistokes. These organopolysiloxanes are exemplified by methylvinylsiloxanes, methylvinylsiloxane-dimethylsiloxane copolymers, dimethylvinylsiloxy-terminated dimethylpolysiloxanes, dimethylvinylsiloxy-terminated dimethylsiloxane-methylphenylsiloxane copolymers, dimethylvinylsiloxy-terminated dimethylsiloxane-diphenylsiloxane-methylvinylsiloxane copolymers, trimethylsiloxy-terminated dimethylsiloxane-methylvinylsiloxane copolymers, trimethylsiloxy-terminated dimethylsiloxane-methylphenylsiloxane-methylvinylsiloxane copolymers, dimethylvinylsiloxy-terminated methyl(3,3,3-trifluoropropyl) polysiloxanes, and dimethylvinylsiloxy-terminated dimethylsiloxane-methyl(3,3,-trifluoropropyl)siloxane copolymers.

Component (B) is an organopolysiloxane having at least 2 silicon-bonded hydrogen atoms in each molecule and is a crosslinker for component (A). Curing proceeds by the addition reaction of the silicon-bonded hydrogen atoms in this component with the lower alkenyl groups in component (A) under catalysis by component (C). This component (B) must contain at least 2 silicon-bonded hydrogen atoms in each molecule in order to function as a crosslinker. Furthermore, the sum of the number of alkenyl groups in each molecule of component (A) and the number of silicon-bonded hydrogen atoms in each molecule of component (B) is to be at least 5. Values below 5 should be avoided because a network structure is then essentially not formed.

No specific restriction exists on the molecular structure of this component, and it may be any of straight chain, branch-containing straight chain, cyclic, etc. The molecular weight of this component is not specifically restricted, but it is preferred that the viscosity at 25 degrees Centigrade be 1 to 50,000 centistokes in order to obtain good miscibility with component (A). It is preferred that this component be added in a quantity such that the molar ratio between the total quantity of silicon-bonded hydrogen atoms in the instant component and the total quantity of all lower alkenyl groups in component (A) falls within the range of (1.5:1) to (20:1). It is difficult to obtain good curing properties when this molar ratio falls below 0.5:1. When (20:1) is exceeded, there is a tendency for the hardness to increase to high levels when the cured product is heated. Furthermore, when an organosiloxane containing substantial alkenyl is supplementarily added for

the purpose of; for example, reinforcement, it is preferred that a supplemental addition of the instant SiH-containing component be made in a quantity offsetting these alkenyl groups. This component is concretely exemplified by trimethylsiloxy-terminated methylhydrogenpolysiloxanes, trimethylsiloxy-terminated dimethylsiloxane-methylhydrogensiloxane copolymers, and dimethylsiloxane-methylhydrogen-siloxane cyclic copolymers.

Component (C) is a catalyst of the addition reaction of silicon-bonded hydrogen atoms and alkenyl groups, and is concretely exemplified by chloroplatinic acid, possibly dissolved in an alcohol or ketone and this solution optionally aged, chloroplatinic acid-olefin complexes, chloroplatinic acid-alkenylsiloxane complexes, chloroplatinic acid-diketone complexes, platinum black, and carrier-supported platinum.

Component C is added preferably at 0.1 to 1,000 weight parts, and more preferably at 1 to 100 weight parts, as platinum-type metal proper per 1,000,000 weight parts of the total quantity of components (A) plus (B). Other organic groups which may be bonded to silicon in the organopolysiloxane forming the basis for the above-described curable organopolysiloxane compositions are, for example, alkyl groups such as methyl, ethyl, propyl, butyl, and octyl; substituted alkyl groups such as 2-phenylethyl, 2-phenylpropyl, and 3,3,3-trifluoropropyl; aryl groups such as phenyl, tolyl, and xylyl; substituted aryl groups such as phenylethyl; and monovalent hydrocarbon groups substituted by, for example, the epoxy group, the carboxylate ester group, the mercapto group, etc.

Examples of the production of the organopolysiloxane elastomer powder are as follows: an organopolysiloxane composition as described above (additional-curable, condensation-curable, or peroxide-curable) is mixed with water in the presence of a surfactant (nonionic, anionic, cationic, or amphoteric), and, after mixing to homogeneity in a homomixer, colloid mill, homogenizer, propeller mixer, etc., this is cured by discharge into hot water (temperature at least 50 degrees Centigrade) and is then dried; the organopolysiloxane composition (addition-curable, condensation-curable, or peroxide-curable) is cured by spraying it directly into a heated current; the powder is obtained by curing a radiation-curable organopolysiloxane composition by spraying it under high energy radiation; the organopolysiloxane composition (addition-curable, condensation-curable, peroxide-curable) or high energy-curable organopolysiloxane composition is cured, the latter by high energy radiation, and the product is then pulverized using a known pulverizer such as, for example, a ball mill, atomizer, kneader, roll mill, etc., to thereby form the powder.

The compositions of the present invention may include an emulsifying crosslinked organopolysiloxane elastomer, a non-emulsifying crosslinked organopolysiloxane elastomer, or a mixture thereof. The term "non-emulsifying," as used herein, defines crosslinked organopolysiloxane elastomers from which polyoxyalkylene units are absent. The term "emulsifying," as used herein, means crosslinked organopolysiloxane elastomers having at least one polyoxyalkylene (e.g., polyoxyethylene or polyoxypropylene) unit. Preferred emulsifying elastomers herein include polyoxyalkylene modified elastomers formed from divinyl compounds, particularly siloxane polymers with at least two free vinyl groups, reacting with Si-H linkages on a polysiloxane backbone. Preferably, the elastomers are dimethyl polysiloxanes crosslinked by Si-H sites on a molecularly spherical MQ resin. Emulsifying crosslinked organopolysiloxane elastomer can notably be chosen from the crosslinked polymers described in US Patents 5,412,004 (issued 5/2/95) ; 5,837,793 (issued 11/17/98); and 5,811,487 (issued 9/22/98), all of which are herein incorporated by reference in their entirety. In addition, an emulsifying elastomer comprised of dimethicone copolyol crosspolymer (and) dimethicone is available from Shin Etsu under the tradename KSG-21.

The silicone elastomers of the present invention may be further processed by subjecting them to a high shear (approximately 5,000 psi) treatment in the presence of a solvent for the silicone elastomer via a Sonolator with or without recycling in from 1 to 60 passes in order to result in a particular average particle size of silicone elastomer. Less than 10 passes results in an average particle size ranging from about 20 to 200 microns. From 10 to 60 passes results in an average particle size of less than 20 microns as measured by the Horiba LA-910. As used herein, the term "particle size" of the elastomer represents the elastomer particle size in its swelled state. By "swelled," as used herein, means that the elastomer particles have extended beyond their normal size and shape by virtue of their absorption of the solvent compound.

Preferably, the non-emulsifying elastomers are dimethicone/vinyl dimethicone crosspolymers. Such dimethicone/vinyl dimethicone crosspolymers are supplied by a variety of suppliers including Dow Corning (DC 9040 and DC 9041), General Electric (SFE 839), Shin Etsu (KSG-15, 16, 18 [dimethicone/phenyl vinyl dimethicone crosspolymer]), and Grant Industries (GRANSIL™ line of elastomers). Cross-linked organopolysiloxane elastomers useful in the present invention and processes for making them are further described in U.S. Patent 4,970,252 to Sakuta, et al., issued November 13, 1990; U.S. Patent 5,760,116 to Kilgour, et al., issued June 2, 1998; U.S. Patent 5,654,362 to Schulz, Jr., et al. issued August 5, 1997, all of which are herein incorporated by reference. Additional crosslinked organopolysiloxane elastomers useful in the

present invention are disclosed in Japanese Patent Application JP 61-18708, assigned to Pola Kasei Kogyo KK.

Commercially available elastomers preferred for use herein are Dow Corning's 9040 silicone elastomer blend, Shin Etsu's KSG-21, and mixtures thereof

III. Silicone Oil

The compositions of the present invention contain a safe and effective amount of a silicone oil. Preferably, the composition contains from about 5% to about 99%, by weight of the composition formed, of the silicone oil, more preferably from about 15% to about 95%, even more preferably from about 20% to about 90%, and still more preferably from about 25% to about 85%.

Non-limiting examples of common silicone oils that may be used in the present invention include cyclomethicone, dimethicone, and mixtures thereof.

The compositions of the present invention may be anhydrous. By "andhydrous" is meant that the composition contains less than 5% of water, preferably less than 1%. In such anhydrous systems, the composition may contain a combination of silicone oil, silicone elastomer, and oil-soluble active.

The compositions of the present invention may also contain an aqueous phase and be in the form of, for example, a water-in-oil emulsion. Emulsions according to the present invention generally contain an aqueous phase and a lipid or oil. Lipids and oils may be derived from animals, plants, or petroleum and may be natural or synthetic (i.e., man-made). The lipid or oil is preferably a silicone oil. Emulsions preferably further contain from about 1% to about 10%, more preferably from about 2% to about 5%, of an emulsifier, based on the weight of the carrier. Emulsifiers may be emulsifying silicone elastomers, silicone emulsifiers, nonionic, anionic or cationic. Suitable emulsifiers are disclosed in, for example, McCutcheon's Detergents and Emulsifiers, North American Edition, pages 317-324 (1986). The emulsifier is preferably a emulsifying silicone elastomer, silicone emulsifier or combination thereof.

Suitable emulsions may have a wide range of viscosities, depending on the desired product form. Preferably the viscosity is from about 5,000 centipoise to about 100,000 centipoise.

The emulsion can contain a variety of optional components. As will be understood by the skilled artisan, a given component will distribute primarily into either the water or oil/silicone phase, depending on the water solubility/dispersibility of the component in the composition.

Preferably, the compositions of the present invention contain an aqueous phase and are in the form of a water-in-silicone oil emulsion. Even more preferably, the composition is a water-in-

silicone emulsion wherein the oil phase comprises at least 51%, by weight of the oil phase, of silicone oil. Water-in-silicone emulsions are described more fully below.

Water-in-Silicone Emulsion

Water-in-silicone emulsions contain a continuous silicone phase and a dispersed aqueous phase.

(a) Continuous silicone phase

Preferred water-in-silicone emulsions of the present invention comprise from about 25% to about 90%, preferably from about 27% to about 80%, more preferably from about 30% to about 70%, by weight of the composition formed, of a continuous silicone phase. The continuous silicone phase exists as an external phase that contains or surrounds the discontinuous aqueous phase described hereinafter.

The continuous silicone phase contains an organopolysiloxane oil for use in the composition. Such organopolysiloxane oil may be volatile, non-volatile, or a mixture of volatile and non-volatile silicones. The term "nonvolatile" as used in this context refers to those silicones that are liquid under ambient conditions and have a flash point (under one atmospheric of pressure) of or greater than about 100°C. The term "volatile" as used in this context refers to all other silicone oils. Suitable organopolysiloxanes can be selected from a wide variety of silicones spanning a broad range of volatilities and viscosities. Examples of suitable organopolysiloxane oils include polyalkylsiloxanes, cyclic polyalkylsiloxanes, and polyalkylarylsiloxanes.

Polyalkylsiloxanes useful in the composition herein include polyalkylsiloxanes with viscosities of from about 0.5 to about 1,000,000 centistokes at 25°C. Such polyalkylsiloxanes can be represented by the general chemical formula $R_3SiO[R_2SiO]_xSiR_3$ wherein R is an alkyl group having from one to about 30 carbon atoms (preferably R is methyl or ethyl, more preferably methyl; also mixed alkyl groups can be used in the same molecule), and x is an integer from 0 to about 10,000, chosen to achieve the desired molecular weight which can range to over about 10,000,000. Commercially available polyalkylsiloxanes include the polydimethylsiloxanes, which are also known as dimethicones, examples of which include the Vicasil® series sold by General Electric Company and the Dow Corning® 200 series sold by Dow Corning Corporation. Specific examples of suitable polydimethylsiloxanes include Dow Corning® 200 fluid having a viscosity of 0.65 centistokes and a boiling point of 100°C, Dow Corning® 225 fluid having a viscosity of 10 centistokes and a boiling point greater than 200°C, and Dow Corning® 200 fluids having viscosities of 50, 350, and 12,500 centistokes, respectively, and boiling points greater than

200°C. Suitable dimethicones include those represented by the chemical formula $(CH_3)_3SiO[(CH_3)_2SiO]_x[CH_3RSiO]_ySi(CH_3)_3$ wherein R is straight or branched chain alkyl having from two to about 30 carbon atoms and x and y are each integers of 1 or greater selected to achieve the desired molecular weight which can range to over about 10,000,000. Examples of these alkyl-substituted dimethicones include cetyl dimethicone and lauryl dimethicone.

Cyclic polyalkylsiloxanes suitable for use in the composition include those represented by the chemical formula $[SiR_2\text{-}O]_n$ wherein R is an alkyl group (preferably R is methyl or ethyl, more preferably methyl) and n is an integer from about 3 to about 8, more preferably n is an integer from about 3 to about 7, and most preferably n is an integer from about 4 to about 6. When R is methyl, these materials are typically referred to as cyclomethicones. Commercially available cyclomethicones include Dow Corning® 244 fluid having a viscosity of 2.5 centistokes, and a boiling point of 172°C, which primarily contains the cyclomethicone tetramer (i.e. n=4), Dow Corning® 344 fluid having a viscosity of 2.5 centistokes and a boiling point of 178°C, which primarily contains the cyclomethicone pentamer (i.e. n=5), Dow Corning® 245 fluid having a viscosity of 4.2 centistokes and a boiling point of 205°C, which primarily contains a mixture of the cyclomethicone tetramer and pentamer (i.e. n=4 and 5), and Dow Corning® 345 fluid having a viscosity of 4.5 centistokes and a boiling point of 217°, which primarily contains a mixture of the cyclomethicone tetramer, pentamer, and hexamer (i.e. n=4, 5, and 6).

Also useful are materials such as trimethylsiloxysilicate, which is a polymeric material corresponding to the general chemical formula $[(CH_2)_3SiO_{1/2}]_x[SiO_2]y$, wherein x is an integer from about 1 to about 500 and y is an integer from about 1 to about 500. A commercially available trimethylsiloxysilicate is sold as a mixture with dimethicone as Dow Corning® 593 fluid.

Dimethiconols are also suitable for use in the composition. These compounds can be represented by the chemical formulas $R_3SiO[R_2SiO]_xSiR_2OH$ and $HOR_2SiO[R_2SiO]_xSiR_2OH$ wherein R is an alkyl group (preferably R is methyl or ethyl, more preferably methyl) and x is an integer from 0 to about 500, chosen to achieve the desired molecular weight. Commercially available dimethiconols are typically sold as mixtures with dimethicone or cyclomethicone (e.g. Dow Corning® 1401, 1402, and 1403 fluids).

Polyalkylaryl siloxanes are also suitable for use in the composition. Polymethylphenyl siloxanes having viscosities from about 15 to about 65 centistokes at 25°C are especially useful.

Preferred for use herein are organopolysiloxanes selected from the group consisting of polyalkylsiloxanes, alkyl substituted dimethicones, cyclomethicones, trimethylsiloxysilicates, dimethiconols, polyalkylaryl siloxanes, and mixtures thereof. More preferred for use herein are polyalkylsiloxanes and cyclomethicones. Preferred among the polyalkylsiloxanes are dimethicones.

As stated above, the continuous silicone phase may contain one or more non-silicone oils. Concentrations of non-silicone oils in the continuous silicone phase are preferably minimized or avoided altogether so as to further enhance the delivery of the oil-soluble skin care active. Suitable non-silicone oils have a melting point of about 25°C or less under about one atmosphere of pressure. Examples of non-silicone oils suitable for use in the continuous silicone phase are those well known in the chemical arts in topical personal care products in the form of water-in-oil emulsions, for example, mineral oil, vegetable oils, synthetic oils, and semisynthetic oils.

(b) Dispersed aqueous phase

The topical compositions of the present invention may also comprise from about 10% to about 75%, by weight of the composition formed, of a dispersed aqueous phase. In emulsion technology, the term "dispersed phase" is a term well-known to one skilled in the art which means that the phase exists as small particles or droplets that are suspended in and surrounded by a continuous phase. The dispersed phase is also known as the internal or discontinuous phase. The dispersed aqueous phase is a dispersion of small aqueous particles or droplets suspended in and surrounded by the continuous silicone phase described hereinbefore.

The aqueous phase can be water, or a combination of water and one or more water soluble or dispersible ingredients. Preferably, the aqueous phase contains greater than about 50% of water, by weight of the aqueous phase. Nonlimiting examples of such optional ingredients include thickeners, acids, bases, salts, chelants, gums, water-soluble or dispersible alcohols and polyols, buffers, preservatives, water-soluble skin care actives, water-dispersible skin care actives, sunscreening agents, colorings, and the like. Water-soluble and water-dispersible skin care actives are more fully described below under optional ingredients.

(c) Emulsifier for dispersing the aqueous phase

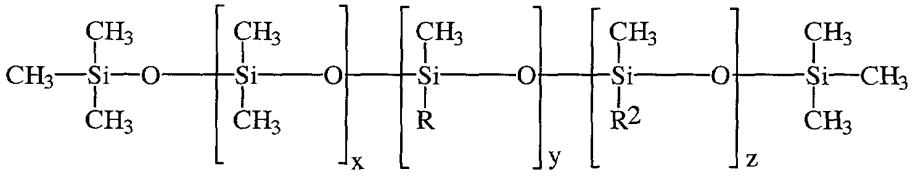
The water-in-silicone emulsions of the present invention preferably comprise an emulsifier. In a preferred embodiment, the composition contains from about 0.1% to about 10% emulsifier, more preferably from about 0.5% to about 7.5%, most preferably from about 1% to about 5%, by weight of the composition formed, of an emulsifier. The emulsifier helps disperse and suspend the aqueous phase within the continuous silicone phase.

A wide variety of emulsifying agents can be employed herein to form the preferred water-in-silicone emulsion. Known or conventional emulsifying agents can be used in the composition, provided that the selected emulsifying agent is chemically and physically compatible with essential components of the composition, and provides the desired dispersion characteristics. Suitable emulsifiers include silicone emulsifiers, non-silicon-containing emulsifiers, and mixtures thereof, known by those skilled in the art for use in topical personal care products. Preferably these emulsifiers have an HLB value of or less than about 14, more preferably from about 2 to about 14, and most preferably from about 4 to about 14. Emulsifiers having an HLB value outside of these ranges can be used in combination with other emulsifiers to achieve an effective weighted average HLB for the combination that falls within these ranges.

Emulsifying silicone elastomers are preferred for use herein and are discussed more fully above. Other silicone emulsifiers are also preferred. A combination of emulsifying silicone elastomer and silicone emulsifier is also useful herein.

A wide variety of silicone emulsifiers are useful herein. These silicone emulsifiers are typically organically modified organopolysiloxanes, also known to those skilled in the art as silicone surfactants. Useful silicone emulsifiers include dimethicone copolyols. These materials are polydimethyl siloxanes which have been modified to include polyether side chains such as polyethylene oxide chains, polypropylene oxide chains, mixtures of these chains, and polyether chains containing moieties derived from both ethylene oxide and propylene oxide. Other examples include alkyl-modified dimethicone copolyols, i.e., compounds which contain C2-C30 pendant side chains. Still other useful dimethicone copolyols include materials having various cationic, anionic, amphoteric, and zwitterionic pendant moieties.

The dimethicone copolyol emulsifiers useful herein can be described by the following general structure:



wherein R is C1-C30 straight, branched, or cyclic alkyl and R^2 is selected from the group consisting of

$$--(CH_2)_n--O--(CH_2CHR^3O)_m--H,$$

and

$$--(CH_2)_n--O--(CH_2CHR^3O)_m--(CH_2CHR^4O)_o--H,$$

wherein n is an integer from 3 to about 10; R^3 and R^4 are selected from the group consisting of H and C1-C6 straight or branched chain alkyl such that R^3 and R^4 are not simultaneously the same; and m, o, x, and y are selected such that the molecule has an overall molecular weight from about 200 to about 10,000,000, with m, o, x, and y being independently selected from integers of zero or greater such that m and o are not both simultaneously zero, and z being independently selected from integers of 1 or greater. It is recognized that positional isomers of these copolyols can be achieved. The chemical representations depicted above for the R^2 moieties containing the R^3 and R^4 groups are not meant to be limiting but are shown as such for convenience.

Also useful herein, although not strictly classified as dimethicone copolyols, are silicone surfactants as depicted in the structures in the previous paragraph wherein R^2 is:

$$--(CH_2)_n--O--R^5,$$

wherein R^5 is a cationic, anionic, amphoteric, or zwitterionic moiety.

Nonlimiting examples of dimethicone copolyols and other silicone surfactants useful as emulsifiers herein include polydimethylsiloxane polyether copolymers with pendant polyethylene oxide sidechains, polydimethylsiloxane polyether copolymers with pendant polypropylene oxide sidechains, polydimethylsiloxane polyether copolymers with pendant mixed polyethylene oxide and polypropylene oxide sidechains, polydimethylsiloxane polyether copolymers with pendant mixed poly(ethylene)(propylene)oxide sidechains, polydimethylsiloxane polyether copolymers with pendant organobetaine sidechains, polydimethylsiloxane polyether copolymers with pendant carboxylate sidechains, polydimethylsiloxane polyether copolymers with pendant quaternary ammonium sidechains; and also further modifications of the preceding copolymers containing pendant C2-C30 straight, branched, or cyclic alkyl moieties. Examples of commercially available dimethicone copolyols useful herein sold by Dow Corning Corporation are Dow Corning® 190, 193, Q2-5220, 2501 Wax, 2-5324 fluid, and 3225C (this later material being sold as a mixture with cyclomethicone). Cetyl dimethicone copolyol is commercially available as a mixture with polyglyceryl-4 isostearate (and) hexyl laurate and is sold under the tradename ABIL® WE-09 (available from Goldschmidt). Cetyl dimethicone copolyol is also commercially available as a mixture with hexyl laurate (and) polyglyceryl-3 oleate (and) cetyl dimethicone and is sold under the tradename ABIL® WS-08 (also available from Goldschmidt). Other nonlimiting examples of dimethicone copolyols also include lauryl dimethicone copolyol, dimethicone copolyol acetate, diemethicone copolyol adipate, dimethicone copolyolamine, dimethicone copolyol behenate, dimethicone copolyol butyl ether, dimethicone copolyol hydroxy stearate, dimethicone copolyol

isostearate, dimethicone copolyol laurate, dimethicone copolyol methyl ether, dimethicone copolyol phosphate, and dimethicone copolyol stearate. See International Cosmetic Ingredient Dictionary, Fifth Edition, 1993.

Among the non-silicone-containing emulsifiers useful herein are various non-ionic and anionic emulsifying agents such as sugar esters and polyesters, alkoxylated sugar esters and polyesters, C1-C30 fatty acid esters of C1-C30 fatty alcohols, alkoxylated derivatives of C1-C30 fatty acid esters of C1-C30 fatty alcohols, alkoxylated ethers of C1-C30 fatty alcohols, polyglyceryl esters of C1-C30 fatty acids, C1-C30 esters of polyols, C1-C30 ethers of polyols, alkyl phosphates, polyoxyalkylene fatty ether phosphates, fatty acid amides, acyl lactylates, soaps, and mixtures thereof.

Nonlimiting examples of suitable non-silicone-containing emulsifiers for use herein include: polyethylene glycol 20 sorbitan monolaurate (Polysorbate 20), polyethylene glycol 5 soya sterol, Steareth-20, Ceteareth-20, PPG-2 methyl glucose ether distearate, Ceteth-10, Polysorbate 80, cetyl phosphate, potassium cetyl phosphate, diethanolamine cetyl phosphate, Polysorbate 60, glyceryl stearate, PEG-100 stearate, polyoxyethylene 20 sorbitan trioleate (Polysorbate 85), sorbitan monolaurate, polyoxyethylene 4 lauryl ether sodium stearate, polyglyceryl-4 isostearate, hexyl laurate, steareth-20, ceteareth-20, PPG-2 methyl glucose ether distearate, ceteth-10, diethanolamine cetyl phosphate, glyceryl stearate, PEG-100 stearate, and mixtures thereof.

Optional Components

The compositions of the present invention may contain a variety of other ingredients such as are conventionally used in a given product type provided that they do not unacceptably alter the benefits of the invention.

In a preferred embodiment, where the composition is to be in contact with human keratinous tissue, the optional components should be suitable for application to keratinous tissue, that is, when incorporated into the composition they are suitable for use in contact with human keratinous tissue without undue toxicity, incompatibility, instability, allergic response, and the like within the scope of sound medical judgment. The *CTFA Cosmetic Ingredient Handbook*, Second Edition (1992) describes a wide variety of nonlimiting cosmetic and pharmaceutical ingredients commonly used in the skin care industry, which are suitable for use in the compositions of the present invention. Examples of these ingredient classes include: abrasives, absorbents, aesthetic components such as fragrances, pigments, colorings/colorants, essential oils, skin sensates, astringents, etc. (e.g., clove oil, menthol, camphor, eucalyptus oil, eugenol, menthyl

lactate, witch hazel distillate), anti-acne agents, anti-caking agents, antifoaming agents, antimicrobial agents (e.g., iodopropyl butylcarbamate), antioxidants (e.g. BHT, BHA, tocopherol), binders, biological additives, buffering agents, bulking agents, chelating agents, chemical additives, colorants, cosmetic astringents, cosmetic biocides, denaturants, drug astringents, external analgesics, film formers or materials, e.g., polymers, for aiding the film-forming properties and substantivity of the composition (e.g., copolymer of eicosene and vinyl pyrrolidone), opacifying agents, pH adjusters, propellants, reducing agents, sequestrants, skin bleaching and lightening agents (e.g., hydroquinone, kojic acid, ascorbic acid, magnesium ascorbyl phosphate, ascorbyl glucosamine, pyridoxine), skin-conditioning agents (e.g., humectants, including miscellaneous and occlusive), skin soothing and/or healing agents (e.g., panthenol and derivatives such as ethyl panthenol, aloe vera, pantothenic acid and its derivatives, allantoin, bisabolol, and dipotassium glycyrrhizinate), skin treating agents (e.g., vitamin D compounds, mono-, di-, and tri-terpenoids, beta-ionol, cedrol), thickeners, and vitamins and vitamin derivatives.

Desquamation Actives

A safe and effective amount of a desquamation active may be added to the compositions of the present invention, preferably from about 0.1% to about 10%, more preferably from about 0.2% to about 5%, even more preferably from about 0.5% to about 4%, by weight of the composition. Desquamation actives enhance the skin appearance benefits of the present invention. For example, the desquamation actives tend to improve the texture of the skin (e.g., smoothness). One desquamation system that is suitable for use herein contains sulfhydryl compounds and zwitterionic surfactants and is described in U.S. Patent No. 5,681,852, to Bissett, incorporated herein by reference. Another desquamation system that is suitable for use herein contains salicylic acid and zwitterionic surfactants and is described in U.S. Patent No. 5,652,228 to Bissett, incorporated herein by reference. Zwitterionic surfactants such as described in these applications are also useful as desquamatory agents herein, with cetyl betaine being particularly preferred.

Anti-Wrinkle Actives/Anti-Atrophy Actives

The compositions of the present invention may contain a safe and effective amount of one or more anti-wrinkle actives or anti-atrophy actives. Exemplary anti-wrinkle/anti-atrophy actives suitable for use in the compositions of the present invention include sulfur-containing D and L amino acids and their derivatives and salts, particularly the N-acetyl derivatives, a preferred example of which is N-acetyl-L-cysteine; thiols, e.g. ethane thiol; hydroxy acids (e.g., alpha-hydroxy acids such as lactic acid and glycolic acid or beta-hydroxy acids), phytic acid, lipoic acid;

lysophosphatidic acid, skin peel agents (e.g., phenol and the like) which enhance the keratinous tissue appearance benefits of the present invention, especially in regulating keratinous tissue condition, e.g., skin condition.

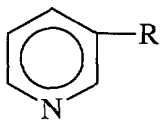
Water-Soluble Vitamins

The compositions of the present invention may contain a safe and effective amount of one or more water-soluble vitamins. Examples of water-soluble vitamins include, but are not limited to, water-soluble versions of vitamin B, vitamin B derivatives, vitamin C, vitamin C derivatives, vitamin K, vitamin K derivatives, vitamin D, vitamin D derivatives, vitamin E, vitamin E derivatives, and mixtures thereof.

Vitamin B_3 Compounds

The compositions of the present invention may contain a safe and effective amount of a vitamin B_3 compound. When vitamin B_3 compounds are present in the compositions of the instant invention, the compositions preferably contain from about 0.01% to about 50%, more preferably from about 0.1% to about 10%, still more preferably from about 1% to about 5%, and still more preferably from about 2% to about 5%, by weight of the composition, of the vitamin B_3 compound.

As used herein, "vitamin B_3 compound" means a compound having the formula:



wherein R is - $CONH_2$ (i.e., niacinamide), - COOH (i.e., nicotinic acid) or - CH_2OH (i.e., nicotinyl alcohol); derivatives thereof; and salts of any of the foregoing.

Exemplary derivatives of the foregoing vitamin B_3 compounds include nicotinic acid esters, including non-vasodilating esters of nicotinic acid (e.g., niacinamide), nicotinyl amino acids, nicotinic acid N-oxide and niacinamide N-oxide.

Hydroxy Acids

The compositions of the present invention may contain a safe and effective amount of a Hydroxy Acid. Preferred hydroxy acids for use in the compositions of the present invention include alpha hydroxy acids such as lactic acid and glycolic acid. When present in the compositions of the present invention, the hydroxy acid is preferably used in an amount of from about 0.01% to about 50%, more preferably from about 0.1% to about 10%, and still more preferably from about 0.5% to about 2%.

Peptides

Peptides, including but not limited to, di-, tri-, tetra-, and pentapeptides and derivatives thereof, may be included in the compositions of the present invention in amounts that are safe and effective. As used herein, "peptides" refers to both the naturally occurring peptides and synthesized peptides. Also useful herein are naturally occurring and commercially available compositions that contain peptides.

Suitable dipeptides for use herein include Carnosine (beta-ala-his). Suitable tripeptides for use herein include, gly-his-lys, arg-lys-arg, his-gly-gly. Preferred tripeptides and derivatives thereof include palmitoyl-gly-his-lys, which may be purchased as Biopeptide CL® (100ppm of palmitoyl-gly-his-lys commercially available from Sederma, France); Peptide CK (arg-lys-arg); Peptide CK+ (ac-arg-lys-arg-NH_2); and a copper derivative of his-gly-gly sold commercially as Iamin, from Sigma (St.Louis, Missouri). Suitable tetrapeptides for use herein include Peptide E, arg-ser-arg-lys (SEQ ID NO:1). Suitable pentapeptides for use herein include lys-thr-thr-lys-ser. A preferred commercially available pentapeptide derivative composition is Matrixyl®, which contains 100 ppm palmitoyl-lys-thr-thr-lys-ser (SEQ ID NO:2, commercially available from Sederma, France).

Preferably, the peptide is selected from palmitoyl-lys-thr-thr-lys-ser, palmitoyl-gly-his-lys, their derivatives, and combinations thereof.

When included in the present compositions, peptides are preferably included in amounts of from about $1x10^{-6}$% to about 10%, more preferably from about 0.001% to about 10%, even more preferably from about 0.1% to about 10%, by weight of the composition.

Anti-Oxidants/Radical Scavengers

The compositions of the present invention may include a safe and effective amount of an anti-oxidant/radical scavenger, preferably from about 0.1% to about 10%, more preferably from about 1% to about 5%, of the composition. The anti-oxidant/radical scavenger is especially useful for providing protection against UV radiation which can cause increased scaling or texture changes in the stratum corneum and against other environmental agents which can cause skin damage.

Anti-oxidants/radical scavengers such as ascorbic acid (vitamin C) and its salts, ascorbic acid derivatives (e.g., magnesium ascorbyl phosphate, sodium ascorbyl phosphate), tocotrienols, butylated hydroxy benzoic acid salts, uric acid salts, sorbic acid salts, dihydroxy fumaric acid salts, lysine, methionine, proline, superoxide dismutase, silymarin, tea extracts, grape skin/seed extracts, melanin, and rosemary extracts may be used. A preferred anti-oxidants/radical scavenger is vitamin C.

Water-Soluble Flavonoids

The compositions of the present invention may contain a safe and effective amount of a water-soluble flavonoid. A preferred example is o-glycoside.

Anti-Cellulite Agents

The compositions of the present invention may contain a safe and effective amount of an anti-cellulite agent. Suitable agents may include, but are not limited to, xanthine compounds (e.g., theophylline, theobromine, and aminophylline).

Tanning Actives

The compositions of the present invention may contain a safe and effective amount of a tanning active, preferably from about 0.1% to about 20% of dihydroxyacetone as an artificial tanning active.

Dihydroxyacetone, which is also known as DHA or 1,3-dihydroxy-2-propanone, is a white to off-white, crystalline powder.

Skin Lightening Agents

The compositions of the present invention may contain a skin lightening agent. When used, the compositions preferably contain from about 0.1% to about 10%, more preferably from about 0.2% to about 5%, also preferably from about 0.5% to about 2%, by weight of the composition, of a skin lightening agent. Suitable skin lightening agents include those known in the art, including kojic acid, arbutin, ascorbic acid and derivatives thereof (e.g., magnesium ascorbyl phosphate or sodium ascorbyl phosphate), and extracts (e.g., mulberry extract, placental extract).

Skin Soothing and Skin Healing Actives

A safe and effective amount of a skin soothing or skin healing active may be added to the present composition, preferably, from about 0.1% to about 30%, more preferably from about 0.5% to about 20%, still more preferably from about 0.5% to about 10 %, by weight of the composition formed. Skin soothing or skin healing actives suitable for use herein include panthenoic acid derivatives (including panthenol, dexpanthenol, ethyl panthenol), aloe vera, allantoin, and dipotassium glycyrrhizinate.

Antimicrobial and Antifungal Actives

The compositions of the present invention may contain an antimicrobial or antifungal active. A safe and effective amount of an antimicrobial or antifungal active may be added to the present compositions, preferably, from about 0.001% to about 10%, more preferably from about 0.01% to about 5%, and still more preferably from about 0.05% to about 2%.

Preferred examples of actives useful herein include those selected from glycolic acid, lactic acid, phytic acid, N-acetyl-L-cysteine, phenoxyethanol, phenoxypropanol, phenoxyisopropanol, and mixtures thereof.

Sunscreen Actives

Exposure to ultraviolet light can result in excessive scaling and texture changes of the stratum corneum. Therefore, the compositions of the subject invention may optionally contain a sunscreen active. As used herein, "sunscreen active" includes both sunscreen agents and physical sunblocks. Suitable sunscreen actives may be organic or inorganic.

Non-limiting examples of inorganic sunscreens useful herein include the following metallic oxides; titanium dioxide having an average primary particle size of from about 15 nm to about 100 nm, zinc oxide having an average primary particle size of from about 15 nm to about 150 nm, zirconium oxide having an average primary particle size of from about 15 nm to about 150 nm, iron oxide having an average primary particle size of from about 15 nm to about 500nm, and mixtures thereof. When used herein, the inorganic sunscreens are present in the amount of from about 0.1% to about 20%, preferably from about 0.5% to about 10%, more preferably from about 1% to about 5%, by weight of the composition.

A wide variety of conventional sunscreen actives are suitable for use herein. Sagarin, et al., at Chapter VIII, pages 189 et seq., of Cosmetics Science and Technology (1972), discloses numerous suitable actives. Specific suitable sunscreen actives include, for example: p-aminobenzoic acid, its salts and its derivatives (ethyl, isobutyl, glyceryl esters; p-dimethylaminobenzoic acid); anthranilates (i.e., o-amino-benzoates; methyl, menthyl, phenyl, benzyl, phenylethyl, linalyl, terpinyl, and cyclohexenyl esters); salicylates (amyl, phenyl, octyl, benzyl, menthyl, glyceryl, and di-pro-pyleneglycol esters); cinnamic acid derivatives (menthyl and benzyl esters, a-phenyl cinnamonitrile; butyl cinnamoyl pyruvate); dihydroxycinnamic acid derivatives (umbelliferone, methylumbelliferone, methylaceto-umbelliferone); trihydroxy-cinnamic acid derivatives (esculetin, methylesculetin, daphnetin, and the glucosides, esculin and daphnin); hydrocarbons (diphenylbutadiene, stilbene); dibenzalacetone and benzalacetophenone; naphtholsulfonates (sodium salts of 2-naphthol-3,6-disulfonic and of 2-naphthol-6,8-disulfonic acids); di-hydroxynaphthoic acid and its salts; o- and p-hydroxybiphenyldisulfonates; coumarin derivatives (7-hydroxy, 7-methyl, 3-phenyl); diazoles (2-acetyl-3-bromoindazole, phenyl benzoxazole, methyl naphthoxazole, various aryl benzothiazoles); quinine salts (bisulfate, sulfate, chloride, oleate, and tannate); quinoline derivatives (8-hydroxyquinoline salts, 2-phenylquinoline); hydroxy- or methoxy-substituted benzophenones; uric and violuric acids; tannic acid and its derivatives (e.g., hexaethylether); (butyl carbotol) (6-propyl piperonyl) ether;

hydroquinone; benzophenones (oxybenzene, sulisobenzone, dioxybenzone, benzoresorcinol, 2,2',4,4'-tetrahydroxybenzophenone, 2,2'-dihydroxy-4,4'-dimethoxybenzophenone, octabenzone; 4-isopropyldibenzoylmethane; butylmethoxydibenzoylmethane; etocrylene; octocrylene; [3-(4'-methylbenzylidene bornan-2-one) and 4-isopropyl-di-benzoylmethane.

Of these, 2-ethylhexyl-p-methoxycinnamate (commercially available as PARSOL MCX), 4,4'-t-butyl methoxydibenzoyl-methane (commercially available as PARSOL 1789), 2-hydroxy-4-methoxybenzophenone, octyldimethyl-p-aminobenzoic acid, digalloyltrioleate, 2,2-dihydroxy-4-methoxybenzophenone, ethyl-4-(bis(hydroxy-propyl))aminobenzoate, 2-ethylhexyl-2-cyano-3,3-diphenylacrylate, 2-ethylhexyl-salicylate, glyceryl-p-aminobenzoate, 3,3,5-tri-methylcyclohexylsalicylate, methylanthranilate, p-dimethyl-aminobenzoic acid or aminobenzoate, 2-ethylhexyl-p-dimethyl-amino-benzoate, 2-phenylbenzimidazole-5-sulfonic acid, 2-(p-dimethylaminophenyl)-5-sulfonicbenzoxazoic acid, octocrylene and mixtures of these compounds, are preferred.

Also useful in the compositions are sunscreen actives having, in a single molecule, two distinct chromophore moieties which exhibit different ultra-violet radiation absorption spectra. One of the chromophore moieties absorbs predominantly in the UVB radiation range and the other absorbs strongly in the UVA radiation range.

When present in the composition, a safe and effective amount of the sunscreen active is used, typically from about 1% to about 20%, more typically from about 2% to about 10% by weight of the composition. Exact amounts will vary depending upon the sunscreen chosen and the desired Sun Protection Factor (SPF).

Particulate Material

The compositions of the present invention may, in some embodiments, contain a particulate material, preferably a metallic oxide. These particulates can be coated or uncoated, charged or uncharged. Charged particulate materials are disclosed in U.S. Patent No. 5,997,887, to Ha, et al., incorporated herein by reference. Particulate materials useful herein include; bismuth oxychloride, iron oxide, mica, mica treated with barium sulfate, titanium dioxide (TiO2), zinc oxide, zirconium oxide, silica, nylon, polyethylene, talc, styrene, polypropylene, ethylene/acrylic acid copolymer, sericite, aluminum oxide, silicone resin, barium sulfate, calcium carbonate, cellulose acetate, polymethyl methacrylate, and mixtures thereof.

Inorganic particulate materials, e.g., TiO2, ZnO, or ZrO2 are commercially available from a number of sources. One example of a suitable particulate material contains the material available from U.S. Cosmetics (TRONOX TiO2 series, SAT-T CR837, a rutile TiO2). Preferably, particulate materials are present in the composition in levels of from about 0.01% to

about 2%, more preferably from about 0.05% to about 1.5%, still more preferably from about 0.1% to about 1%, by weight of the composition. There are no specific limitations as to the pigment, colorant or filler powders used in the composition.

Preferred organic powders/fillers include, but are not limited, to polymeric particles chosen from the methylsilsesquioxane resin microspheres such as for example those sold by Toshiba silicone under the name Tospearl 145A; microspheres of polymethylmethacrylates such as those sold by Seppic under the name Micropearl M 100; the spherical particles of crosslinked polydimethylsiloxanes, especially such as those sold by Dow Corning Toray Silicone under the name Trefil E 506C or Trefil E 505C, sphericle particles of polyamide and more specifically Nylon 12, especially such as those sold by Atochem under the name Orgasol 2002D Nat C05, polystyerene microspheres such as for example those sold by Dyno Particles under the name Dynospheres, ethylene acrylate copolymer sold by Kobo under the name FloBead EA209 and mixtures thereof.

Also useful herein are pigment and/or dye encapsulates such nanocolorants from BASF and multi-layer interference pigments such as Sicopearls from BASF.

It is preferred that the pigments/powders are surface treated to provide added stability of color and ease of formulation. Hydrophobically treated pigments are more preferred, because they may be more easily dispersed in the delivery vehicle. In addition, it may be useful to treat the pigments with a material that is compatible with a silicone phase. Particularly useful hydrophobic pigment treatments for use in water-in-silicone emulsions include polysiloxane treatments such as those disclosed in U.S. Patent 5,143,722, incorporated herein by reference in its entirety. Also preferred are pigment/powders having a primary average particle size of from about 10 nm to about 100,000 nm, more preferably from about 50nm to about 5,000nm, most preferably from about 100nm to about 1000nm. Mixtures of the same or different pigment/powder having different particle sizes are also useful herein (e.g., incorporating a TiO2 having a primary particle size of from about 100 nm to about 400 nm with a TiO2 having a primary particle size of from about 10 nm to about 50 nm).

Conditioning Agents

The compositions of the present invention may comprise a conditioning agent selected from the group consisting of humectants, moisturizers, or skin conditioners. A variety of these materials can be employed and each can be present at a level of from about 0.01% to about 40%, more preferably from about 0.1% to about 30%, and most preferably from about 0.5% to about 10%, by weight of the composition. Non-limiting examples of conditioning agents include guanidine; urea; glycolic acid and glycolate salts (e.g. ammonium and quaternary alkyl

ammonium); salicylic acid; lactic acid and lactate salts (e.g., ammonium and quaternary alkyl ammonium); aloe vera in any of its variety of forms (e.g., aloe vera gel); polyhydroxy alcohols such as sorbitol, mannitol, xylitol, erythritol, glycerol, hexanetriol, butanetriol, propylene glycol, butylene glycol, hexylene glycol and the like; polyethylene glycols; sugars (e.g., melibiose) and starches; sugar and starch derivatives (e.g., alkoxylated glucose, fucose, glucosamine); hyaluronic acid; lactamide monoethanolamine; acetamide monoethanolamine; panthenol; allantoin; and mixtures thereof. Also useful herein are the propoxylated glycerols described in U. S. Patent No. 4,976,953, to Orr et al, issued December 11, 1990, incorporated herein by reference.

Also useful are various C_1-C_{30} monoesters and polyesters of sugars and related materials. These esters are derived from a sugar or polyol moiety and one or more carboxylic acid moieties.

Preferably, the conditioning agent is selected from the group consisting of urea, guanidine, sucrose polyester, panthenol, allantoin, and combinations thereof.

Thickening Agent (including thickeners and gelling agents)

The compositions of the present invention can comprise one or more thickening agents, preferably from about 0.1% to about 5%, more preferably from about 0.1% to about 3%, and most preferably from about 0.25% to about 2%, by weight of the composition. The compositions of the present invention may also contain mixtures of thickening agents.

Nonlimiting classes of thickening agents include those selected from the group consisting of:

a) Carboxylic Acid Polymers

Non-limiting examples of commercially available carboxylic acid polymers useful herein include the carbomers, which are homopolymers of acrylic acid crosslinked with allyl ethers of sucrose or pentaerytritol. The carbomers are available as the Carbopol® 900 series from B.F. Goodrich (e.g., Carbopol® 954). In addition, other suitable carboxylic acid polymeric agents include copolymers of C_{10-30} alkyl acrylates with one or more monomers of acrylic acid, methacrylic acid, or one of their short chain (i.e., C_{1-4} alcohol) esters, wherein the crosslinking agent is an allyl ether of sucrose or pentaerytritol. These copolymers are known as acrylates/C_{10-30} alkyl acrylate crosspolymers and are commercially available as Carbopol® 1342, Carbopol® 1382, Pemulen TR-1, and Pemulen TR-2, from B.F. Goodrich

b) Crosslinked Polyacrylate Polymers

Non-limiting examples of useful crosslinked nonionic polyacrylate polymers and crosslinked cationic polyacrylate polymers are those described in U. S. Patent No. 5,100,660, to Hawe et al, issued March 31, 1992; U. S. Patent No. 4,849,484, to Heard, issued July 18, 1989; U.

S. Patent No. 4,835,206, to Farrar et al, issued May 30, 1989; U.S. Patent No. 4,628,078 to Glover et al issued December 9, 1986; U.S. Patent No. 4,599,379 to Flesher et al issued July 8, 1986; and EP 228,868, to Farrar et al, published July 15, 1987, all of which are incorporated herein.

c) Polyacrylamide Polymers

A non-limiting example of a polyacrylamide nonionic polymer useful herein is one given the CTFA designation polyacrylamide and isoparaffin and laureth-7, available under the Tradename Sepigel 305 from Seppic Corporation (Fairfield, NJ).

Other polyacrylamide polymers useful herein include multi-block copolymers of acrylamides and substituted acrylamides with acrylic acids and substituted acrylic acids. Commercially available examples of these multi-block copolymers include Hypan SR150H, SS500V, SS500W, SSSA100H, from Lipo Chemicals, Inc., (Patterson, NJ).

d) Polysaccharides

Nonlimiting examples of polysaccharide gelling agents include those selected from the group consisting of cellulose, carboxymethyl hydroxyethylcellulose, cellulose acetate propionate carboxylate, hydroxyethylcellulose, hydroxyethyl ethylcellulose, hydroxypropylcellulose, hydroxypropyl methylcellulose, methyl hydroxyethylcellulose, microcrystalline cellulose, sodium cellulose sulfate, and mixtures thereof. Also useful herein are the alkyl substituted celluloses. In these polymers, the hydroxy groups of the cellulose polymer is hydroxyalkylated (preferably hydroxyethylated or hydroxypropylated) to form a hydroxyalkylated cellulose which is then further modified with a C_{10}-C_{30} straight chain or branched chain alkyl group through an ether linkage. Typically these polymers are ethers of C_{10}-C_{30} straight or branched chain alcohols with hydroxyalkylcelluloses. Examples of alkyl groups useful herein include those selected from the group consisting of stearyl, isostearyl, lauryl, myristyl, cetyl, isocetyl, cocoyl (i.e. alkyl groups derived from the alcohols of coconut oil), palmityl, oleyl, linoleyl, linolenyl, ricinoleyl, behenyl, and mixtures thereof. Preferred among the alkyl hydroxyalkyl cellulose ethers is the material given the CTFA designation cetyl hydroxyethylcellulose, which is the ether of cetyl alcohol and hydroxyethylcellulose. This material is sold under the tradename Natrosol® CS Plus from Aqualon Corporation (Wilmington, DE).

Other useful polysaccharides include scleroglucans comprising a linear chain of (1-3) linked glucose units with a (1-6) linked glucose every three units, a commercially available example of which is Clearogel™ CS11 from Michel Mercier Products Inc. (Mountainside, NJ).

e) Gums

Nonlimiting examples of gelling agent gums include materials selected from the group consisting of acacia, agar, algin, alginic acid, ammonium alginate, amylopectin, calcium alginate,

calcium carrageenan, carnitine, carrageenan, dextrin, gelatin, gellan gum, guar gum, guar hydroxypropyltrimonium chloride, hectorite, hyaluroinic acid, hydrated silica, hydroxypropyl chitosan, hydroxypropyl guar, karaya gum, kelp, locust bean gum, natto gum, potassium alginate, potassium carrageenan, propylene glycol alginate, sclerotium gum, sodium carboyxmethyl dextran, sodium carrageenan, tragacanth gum, xanthan gum, and mixtures thereof.

Composition Preparation

The compositions useful for the methods of the present invention are generally prepared by conventional methods such as are known in the art of making topical compositions. Such methods typically involve mixing of the ingredients in one or more steps to a relatively uniform state, with or without heating, cooling, application of vacuum, and the like.

Methods of Use

The compositions of the present invention are useful for enhancing the delivery of oil-soluble skin care actives into the skin. The percentage of oil-soluble active delivered to the skin dermis by the compositions of the present invention is greater than the percentage delivered by a single application of a conventional oil-in-water or water-in-oil emulsion wherein the active is in the oil phase. Measurement of such delivery enhancement may be completed by any conventional means of comparing the delivery of actives in standard topical skin care compositions. For example, ex-vivo skin penetration through cadaver skin using Franz cells is a standard methodology for measuring skin penetration of skin care actives.933

The compositions of the present invention are also useful for regulating the condition of skin and/or hair while having good aesthetics and improved delivery of oil-soluble skin care actives. Regulating the condition of skin includes reducing the appearance of fine lines and/or wrinkles on the skin, reducing the appearance of eye bags and dark circles under the eys, sagging skin, scars/marks, dimples, pores, stretch marks, roughness, skin surface blemishes, frown lines, expression lines, rhytides, blemishes, photodamage, crevices, and/or unevenness.

Regulation of the keratinous tissue conditions of the skin with such actives in combination with the tacky solvent soluble active, and improved delivery system can include prophylactic and therapeutic regulation. For example, such regulating methods are directed to thickening keratinous tissue (i.e., building the epidermis and/or dermis layers of the skin and where applicable the keratinous layers of the nail and hair shaft) and preventing and/or retarding atrophy of mammalian skin, preventing and/or retarding the appearance of spider vessels and/or red blotchiness on mammalian skin, preventing and/or retarding the appearance of dark circles

under the eye of a mammal, preventing and/or retarding sallowness of mammalian skin, preventing and/or retarding sagging of mammalian skin, softening and/or smoothing lips, hair and nails of a mammal, preventing and/or relieving itch of mammalian skin, regulating skin texture (e.g. wrinkles and fine lines), and improving skin color (e.g. redness, freckles).

In a preferred embodiment the composition is chronically applied to the skin. By "chronic topical application" is meant continued topical application of the composition over an extended period during the subject's lifetime, preferably for a period of at least about one week, more preferably for a period of at least about one month, even more preferably for at least about three months, even more preferably for at least about six months, and more preferably still for at least about one year. While benefits are obtainable after various maximum periods of use (e.g., five, ten or twenty years), it is preferred that the chronic application continues throughout the subject's lifetime. Typically applications would be on the order of about once per day over such extended periods, however application rates can vary from about once per week up to about three times per day or more.

A wide range of quantities of the compositions of the present invention can be employed to provide a skin appearance and/or feel benefit. Quantities of the present compositions which are typically applied per application are, in mg composition/cm^2 skin, from about 0.1 mg/cm^2 to about 10 mg/cm^2. A particularly useful application amount is about 1 mg/cm^2 to about 2 mg/cm^2.

Modifying and/or regulating skin appearance, feel, and/or condition is preferably practiced by applying a composition in the form of a skin lotion, cream, gel, foam, ointment, paste, emulsion, spray, conditioner, tonic, cosmetic, lipstick, foundation, nail polish, after-shave, or the like which is preferably intended to be left on the skin or other keratin structure for some esthetic, prophylactic, therapeutic or other benefit (i.e., a "leave-on" composition). After applying the composition to the skin, it is preferably left on the skin for a period of at least about 15 minutes, more preferably at least about 30 minutes, even more preferably at least about 1 hour, still more preferably for at least several hours, e.g., up to about 12 hours. Any part of the external portion of the face, hair, and/or nails can be treated, e.g., face, lips, under-eye area, eyelids, scalp, neck, torso, arms, hands, legs, feet, fingernails, toenails, scalp hair, eyelashes, eyebrows, etc. The composition can be applied with the fingers or with an implement or device (e.g., pad, cotton ball, applicator pen, spray applicator, and the like).

Another approach to ensure a continuous exposure of the skin to at least a minimum level of the composition is to apply the compound by use of a patch applied, e.g., to the face. Such an approach is particularly useful for problem skin areas needing more intensive treatment (e.g.,

facial crows feet area, frown lines, under eye area, age spots, and the like). The patch can be occlusive, semi-occlusive or non-occlusive and can be adhesive or non-adhesive. The composition can be contained within the patch or be applied to the skin prior to application of the patch. The patch can also include additional actives such as chemical initiators for exothermic reactions such as those described in U.S. Patents numbered 5,821,250, 5,981,547, and 5,972,957 to Wu, et al. The patch is preferably left on the skin for a period of at least about 5 minutes, more preferably at least about 15 minutes, more preferably still at least about 30 minutes, even more preferably at least about 1 hour, still more preferably at night as a form of night therapy.

Examples

The following examples further describe and demonstrate embodiments within the scope of the present invention. The examples are given solely for the purpose of illustration and are not to be construed as limitations of the present invention, as many variations thereof are possible without departing from the spirit and scope of the invention.

Examples 1 – 7

Water-in-Silicone Skin Cream

Water-in-silicone skin creams are prepared by conventional methods from the following components. Amounts of ingredients are listed in percent by weight of the composition.

	Ingredient	1	2	3	4	5	6	7
PHASE A:	Water	qs	qs	qs	qs	qs	qs	qs
	Disodium EDTA	0.10	0.10	0.10	0.10	0.10	0.10	0.10
	Methyl Paraben	0.10	0.10	0.10	0.10	0.10	0.10	0.10
	Propyl Paraben	0.10	0.10	0.10	0.10	0.10	0.10	0.10
	Niacinamide		2.00	7.5	5.0	3.50	10.00	5.0
	Dexpanthenol		0.50	1.0	1.0	0.50	1.0	0.50
	Allantoin		0.2		0.2		0.2	0.2
	Benzyl Alcohol	0.25	0.25	0.25	0.25	0.25	0.25	0.25
	Green Tea Extract	1.00	1.00	1.00	1.00	1.00	1.00	
	Glycerin	6.00		20.00	10.00	7.00	15.00	15
	Terephthalylidene dicamphor sulfonic acid [1]							5.0
	Palmitoyl Lys Thr Thr Lys Ser [2]		0.0003			0.0003		

PHASE B:	Dow Corning 9040 [3]	11.00	15.00		20.00	10.00	7.50	10
	KSG -21 [4]	4.00	5.50	15.00		7.00	0.50	10
	Cyclomethicone	12.00	17.00	20.00	25.00	20.00	3.00	20
	Dimethicone Copolyol (Dow Corning 5225C)		3.00		5.00	2.50	2.00	
	Vitamin E Acetate		0.50	0.5		0.50	0.50	
	Titanium Dioxide GLW75CAP-MP [5]		0.50	0.50				
	Fragrance	0.20		0.20	0.20		0.20	
	Farnesol	3.00	5.00				1.00	
	(-)-alpha Bisabolol		0.50		1.00			
	Parsol 1789 [6]					3.00	2.00	
	Fytosterol-85[7]					1.00		
	Octyl Salicylate						5.00	
	Isopropyl Palmitate					7.00	6.00	
	Tospearl 145		1.00		1.00			
PHASE C	Finsolv TN			2.00	2.00	2.00		
	Retinol			0.10				
	Retinyl Propionate				0.20	0.20		

[1] Can be obtained from Chimex as Mexoryl SX

[2] Peptide can be obtained from Sederma

[3] 12% Dimethicone/Vinyl Dimethicone crosspolymer in cyclomethicone from Dow Corning

[4] Available from Shin-Etsu; 25% Dimethicone/Copolyol Crosspolymer in dimethicone

[5] Titanium Dioxide GLW75CAP-MP can be obtained from KOBO

[6] Parsol 1789 can be obtained from Roche

[7] Fytosterol-85 can be obtained from Dragoco

The ingredients of Phase A are mixed together in a suitable container and the ingredients of Phase B are mixed together in a separate suitable container, both using a suitable mixer (e.g., Tekmar model RW20DZM) equipped with a propeller blade. If Phase C ingredients are present, such ingredients are mixed together in a separate suitable container (where necessary) and are added to Phase B. When both Phases are homogenous, Phase A is slowly added to Phase B while mixing Phase B with propeller blade. Mixing is maintained until the batch is uniform. The resulting emulsion is then milled using a suitable mill (e.g. Tekmar T25) for several minutes to insure uniformity. The product is then poured into suitable containers. The resulting product exhibits enhanced penetration of the oil-soluble skin care actives and good aesthetics.